

What is claimed is:

1. A compound having the formula $S-(L)_n-A$, wherein S is a signal providing structural unit that provides a signal that can be detected *in vivo* or detected *in vitro*, L links S to A, A is a peptide that binds to LOX-1, and n is either 0 or 1.
2. The compound of claim 1, wherein S is selected from the group consisting of a luminescent dye, a radionuclide, a near infrared dye, a magnetically active isotope, a superparamagnetic particle, a metal ion having a Z value of greater than 50, an encapsulated species, and a combination thereof.
3. The compound of claim 1, wherein S is selected from the group consisting of fluorescein, ^{11}C , ^{18}F , ^{52}Fe , ^{62}Cu , ^{64}Cu , ^{67}Cu , ^{67}Ga , ^{68}Ga , ^{86}Y , ^{89}Zr , ^{94m}Tc , ^{94}Tc , ^{99m}Tc , ^{111}In , ^{123}I , ^{124}I , ^{125}I , ^{131}I , $^{154-158}Gd$, ^{175}Lu , superparamagnetic iron oxide nanoparticles, heavy metal ions, gas-filled microbubbles, optical dyes, porphyrins, texaphyrins, highly iodinated organic compounds chelates thereof, polymers containing at least one of the aforementioned components, endohedral fullerenes containing at least one of the aforementioned, and mixtures thereof.
4. The compound of claim 2, wherein S is a luminescent dye.
5. The compound of claim 4, wherein the luminescent dye is fluorescein, rhodamine, Alexa, or derivatives thereof.
6. The compound of claim 2, wherein S is a radionuclide.
7. The compound of claim 6, wherein the radionuclide is a positron emitter.
8. The compound of claim 7, wherein the positron emitter is selected from ^{18}F and ^{11}C .
9. The compound of claim 6, wherein the radionuclide is a gamma emitter.

10. The compound of claim 2, wherein S is selected from the group consisting of a near infrared dye, an infrared dye, Cy5 dyes, and mixtures thereof.
11. The compound of claim 2, wherein S is a magnetically active isotope.
12. The compound of claim 11, wherein the magnetically active isotope is paramagnetic.
13. The compound of claim 12, wherein the magnetically active isotope is an isotope of gadolinium.
14. The compound of claim 2, wherein S is a superparamagnetic particle.
15. The compound of claim 14, wherein the superparamagnetic particle is a nanoparticle.
16. The compound according to claim 15, wherein the nanoparticle comprises at least one of iron oxide and elemental iron.
17. The compound according to claim 2, wherein S is an element having a Z value of greater than about 50.
18. The compound according to claim 17, wherein the element having a Z value of greater than about 50 is iodine or bismuth.
19. The compound according to claim 2, wherein S is an encapsulated species.
20. The compound according to claim 19, wherein the encapsulated species is selected from the group consisting of a micelle, a liposome, a polysome, and a gas-filled microbubble.
21. The compound according to claim 1, wherein L is an organic radical having a valence of at least 2.
22. The compound according to claim 21, wherein the organic radical is covalently bound to both group S and group A.

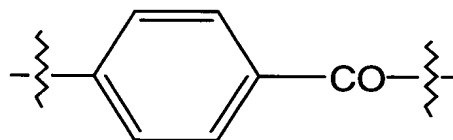
23. The compound according to claim 21, wherein the organic radical is ionically bound to one of group S and group A.

24. The compound according to claim 23, wherein the organic radical is ionically bound to both group S and group A.

25. The compound according to claim 21, wherein the organic radical comprises between 1 and about 10,000 carbon atoms.

26. The compound according to claim 25, wherein the organic radical is selected from the group consisting of alkylene, arylene, cycloalkylene, aminoalkylene, aminoarylene, aminocycloalkylene, thioalkylene, thioarylene, thiocycloalkylene, oxyalkylene, oxyarylene, oxycycloalkylene, acylalkylene, acylarylene, acylcycloalkylene units, and combinations thereof.

27. The compound of claim 26, wherein the acylarylene unit is a 4-acylphenylene group having the following structure:



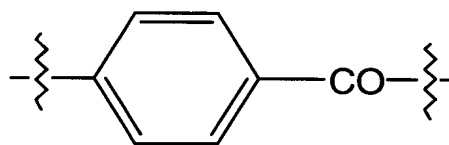
28. The compound of claim 21, wherein the organic radical is a metal chelating agent.

29. The compound according to claim 28, wherein the metal chelating agent binds at least one metal cation selected from the group consisting of cations of ^{52}Fe , ^{62}Cu , ^{64}Cu , ^{67}Cu , ^{67}Ga , ^{68}Ga , ^{86}Y , ^{89}Zr , $^{94\text{m}}\text{Tc}$, ^{94}Tc , $^{99\text{m}}\text{Tc}$, ^{111}In , $^{154-158}\text{Gd}$, and ^{175}Lu .

30. The compound of claim 29, wherein the metal chelating agent is selected from the group consisting of DTPA, 1,4,7-triaza-cyclononane- $\text{N},\text{N}',\text{N}''$ -triacetic acid (NOTA), p-bromoacetamido-benzyl-tetraethylaminetetraacetic acid (TETA), 1,4,7,10-tetraazacyclododecanetetraacetic acid (DOTA), EDTA, CHXa.

31. The compound of claim 1, wherein n is 1, and L is selected from the group consisting of lysine-glycine analogs, lysine-glycine derivatives, lysine-glycine variants, cyclohexyl alanine, DTPA, 1,4,7-triaza-cyclononane-N,N',N''-triacetic acid (NOTA), p-bromoacetamido-benyl-tetraethylaminetetraacetic acid (TETA), 1,4,7,10-tetraazacyclododecanetetraacetic acid (DOTA), and mixtures thereof.
32. The compound of claim 31, wherein L is KKGG.
33. The compound of claim 1, wherein A is selected from the group consisting of LSXPP (X=I, R), TPP, LTPAXA (X=T, R), MTTPLT, LTRPX (X=Y, L), MTAXPI (X=P, R), MPQ, and mixtures, fragments, fusion peptides, derivatives, variants, and homologues thereof.
34. The compound of claim 33, wherein A is TPP or variants thereof.
35. A composition comprising a compound having the formula S-(L)_n-A, wherein S provides a signal that can be detected *in vivo* or detected *in vitro*, L links S to A, A is a peptide comprising an amino acid sequence that binds to LOX-1, and n is either 0 or 1.
36. The composition of claim 35, wherein S is selected from the group consisting of a luminescent dye, a radionuclide, a near infrared dye, a magnetically active isotope, a superparamagnetic particle, a metal ion having a Z value of greater than 50, an encapsulated species, and a combination thereof.
37. The composition of claim 35, wherein S is selected from the group consisting of fluorescein, ¹¹C ¹⁸F, ⁵²Fe, ⁶²Cu, ⁶⁴Cu, ⁶⁷Cu, ⁶⁷Ga, ⁶⁸Ga, ⁸⁶Y, ⁸⁹Zr, ^{94m}Tc, ⁹⁴Tc, ^{99m}Tc, ¹¹¹In, ¹²³I, ¹²⁴I, ¹²⁵I, ¹³¹I, ¹⁵⁴⁻¹⁵⁸Gd, ¹⁷⁵Lu, superparamagnetic iron oxide nanoparticles, heavy metal ions, gas-filled microbubbles, optical dyes, porphyrins, texaphyrins, highly iodinated organic compounds chelates thereof, polymers containing at least one of the aforementioned components, endohedral fullerenes containing at least one of the aforementioned, and mixtures thereof.

38. The composition of claim 37, wherein S is selected from ^{18}F and ^{11}C .
39. The composition of claim 35, wherein L is an organic radical selected from the group consisting of alkylene, arylene, cycloalkylene, aminoalkylene, aminoarylene, aminocycloalkylene, thioalkylene, thioarylene, thiocycloalkylene, oxyalkylene, oxyarylene, oxycycloalkylene, acylalkylene, acylarylene, acylcycloalkylene units, and combinations thereof.
40. The composition of claim 39, wherein the acylarylene unit is a 4-acylphenylene group having the following structure:



41. The composition of claim 39, wherein the organic radical is a metal chelating agent.
42. The composition according to claim 41, wherein the metal chelating agent binds at least one metal cation selected from the group consisting of cations of ^{52}Fe , ^{62}Cu , ^{64}Cu , ^{67}Cu , ^{67}Ga , ^{68}Ga , ^{86}Y , ^{89}Zr , $^{94\text{m}}\text{Tc}$, ^{94}Tc , $^{99\text{m}}\text{Tc}$, ^{111}In , $^{154-158}\text{Gd}$, and ^{175}Lu .
43. The composition of claim 42, wherein the metal chelating agent is selected from the group consisting of DTPA, 1,4,7-triaza-cyclononane- $\text{N},\text{N}',\text{N}''$ -triacetic acid (NOTA), p-bromoacetamido-benyl-tetraethylaminetetraacetic acid (TETA), 1,4,7,10-tetraazacyclododecanetetraacetic acid (DOTA), EDTA, CHXa.
44. The composition of claim 35, wherein n is 1, and L is selected from the group consisting of lysine-glycine analogs, lysine-glycine derivatives, lysine-glycine variants, cyclohexyl alanine, DTPA, 1,4,7-triaza-cyclononane- $\text{N},\text{N}',\text{N}''$ -triacetic acid (NOTA), p-bromoacetamido-benyl-tetraethylaminetetraacetic acid (TETA), 1,4,7,10-tetraazacyclododecanetetraacetic acid (DOTA), and mixtures thereof.

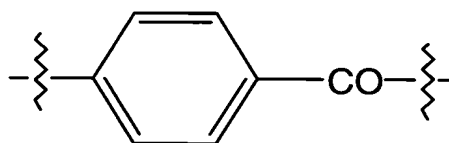
45. The composition of claim 44, wherein L is KKGG.
46. The compound of claim 35, wherein A is selected from the group consisting of LSXPP (X=I, R), TPP, LTPAXA (X=T, R), MTTPLT, LTRPX (X=Y, L), MTAXPI (X=P, R), MPQ, and mixtures, fragments, fusion peptides, derivatives, variants, and homologues thereof.
47. The composition of claim 46, wherein A is TPP or variants thereof.
48. A kit comprising the composition of claim 8.
49. A method of imaging a tissue to detect the presence and/or amount of LOX-1, comprising:
administering to a mammal the compound of claim 1;
optionally administering a clearing agent to remove any compound that is not bound to LOX-1; and
subjecting the mammal to imaging effective to detect the signal generated by S to thereby detect the presence and/or amount of LOX-1.
50. The method of claim 49, wherein the mammal is suspected of a disease or disorder related to the expression of LOX-1.
51. The method of claim 50, wherein the disease or disorder is selected from the group consisting of atherosclerosis, vulnerable plaque, coronary artery disease, renal disease, thrombosis, transient ischemia due to clotting, stroke, myocardial infarction, organ transplant, organ failure, and hypercholesterolemia.
52. The method of claim 49, wherein the imaging effective to detect S is positron emission tomography.
53. The method of claim 52, wherein S is selected from ^{18}F and ^{11}C .

54. The method of claim 49, wherein S is selected from the group consisting of a luminescent dye, a radionuclide, a near infrared dye, a magnetically active isotope, a superparamagnetic particle, a metal ion having a Z value of greater than 50, an encapsulated species, and a combination thereof.

55. The method of claim 49, wherein S is selected from the group consisting of fluorescein, ^{11}C , ^{18}F , ^{52}Fe , ^{62}Cu , ^{64}Cu , ^{67}Cu , ^{67}Ga , ^{68}Ga , ^{86}Y , ^{89}Zr , $^{94\text{m}}\text{Tc}$, ^{94}Tc , $^{99\text{m}}\text{Tc}$, ^{111}In , ^{123}I , ^{124}I , ^{125}I , ^{131}I , $^{154-158}\text{Gd}$, ^{175}Lu , superparamagnetic iron oxide nanoparticles, heavy metal ions, gas-filled microbubbles, optical dyes, porphyrins, texaphyrins, highly iodinated organic compounds chelates thereof, polymers containing at least one of the aforementioned components, endohedral fullerenes containing at least one of the aforementioned, and mixtures thereof.

56. The method of claim 49, wherein L is an organic radical selected from the group consisting of alkylene, arylene, cycloalkylene, aminoalkylene, aminoarylene, aminocycloalkylene, thioalkylene, thioarylene, thiocycloalkylene, oxyalkylene, oxyarylene, oxycycloalkylene, acylalkylene, acylarylene, acylcycloalkylene units, and combinations thereof.

57. The method of claim 55, wherein the acylarylene unit is a 4-acylphenylene group having the following structure:



58. The method of claim 55, wherein the organic radical is a metal chelating agent.

59. The method according to claim 58, wherein the metal chelating agent binds at least one metal cation selected from the group consisting of cations of ^{52}Fe , ^{62}Cu , ^{64}Cu , ^{67}Cu , ^{67}Ga , ^{68}Ga , ^{86}Y , ^{89}Zr , $^{94\text{m}}\text{Tc}$, ^{94}Tc , $^{99\text{m}}\text{Tc}$, ^{111}In , $^{154-158}\text{Gd}$, and ^{175}Lu .

60. The method of claim 59, wherein the metal chelating agent is selected from the group consisting of DTPA, 1,4,7-triaza-cyclononane- $\text{N},\text{N}',\text{N}''$ -triacetic acid (NOTA), p-bromoacetamido-benyl-tetraethylaminetetraacetic acid (TETA), 1,4,7,10-tetraazacyclododecanetetraacetic acid (DOTA), EDTA, CHXa.

61. The method of claim 49, wherein n is 1, and L is selected from the group consisting of lysine-glycine analogs, lysine-glycine derivatives, lysine-glycine variants, cyclohexyl alanine, DTPA, 1,4,7-triaza-cyclononane- $\text{N},\text{N}',\text{N}''$ -triacetic acid (NOTA), p-bromoacetamido-benyl-tetraethylaminetetraacetic acid (TETA), 1,4,7,10-tetraazacyclododecanetetraacetic acid (DOTA), and mixtures thereof.

62. The method of claim 61, wherein L is KKGG.

63. The method of claim 49, wherein A is selected from the group consisting of LSXPP (X=I, R), TPP, LTPAXA (X=T, R), MTTPLT, LTRPX (X=Y, L), MTAXPI (X=P, R), MPQ, and mixtures, fragments, fusion peptides, derivatives, variants, and homologues thereof.

64. The method of claim 63, wherein A is TPP or variants thereof.

65. A method of monitoring the efficacy of therapies for treating atherosclerosis comprising:

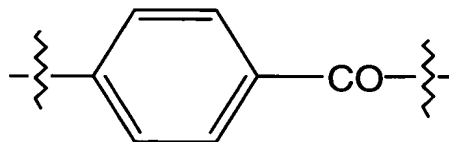
administering to a mammal the compound of claim 1;

optionally administering a clearing agent to remove the compound that is not bound to LOX-1;

subjecting the mammal to imaging effective to detect the signal generated by S to thereby detect the amount of LOX-1; and

repeating the administration and imaging procedures at least once over a period of time to detect the difference in amount of LOX-1.

66. The method of claim 65, wherein the mammal is suspected of a disease or disorder caused by expression of LOX-1.
67. The method of claim 65 wherein the imaging effective to detect S is positron emission tomography.
68. The method of claim 67, wherein S is selected from ^{18}F and ^{11}C .
69. The method of claim 65, wherein S is selected from the group consisting of a luminescent dye, a radionuclide, a near infrared dye, a magnetically active isotope, a superparamagnetic particle, a metal ion having a Z value of greater than 50, an encapsulated species, and a combination thereof.
70. The method of claim 65, wherein S is selected from the group consisting of fluorescein, ^{11}C , ^{18}F , ^{52}Fe , ^{62}Cu , ^{64}Cu , ^{67}Cu , ^{67}Ga , ^{68}Ga , ^{86}Y , ^{89}Zr , $^{94\text{m}}\text{Tc}$, ^{94}Tc , $^{99\text{m}}\text{Tc}$, ^{111}In , ^{123}I , ^{124}I , ^{125}I , ^{131}I , $^{154-158}\text{Gd}$, ^{175}Lu , superparamagnetic iron oxide nanoparticles, heavy metal ions, gas-filled microbubbles, optical dyes, porphyrins, texaphyrins, highly iodinated organic compounds chelates thereof, polymers containing at least one of the aforementioned components, endohedral fullerenes containing at least one of the aforementioned, and mixtures thereof.
71. The method of claim 65, wherein L is an organic radical selected from the group consisting of alkylene, arylene, cycloalkylene, aminoalkylene, aminoarylene, aminocycloalkylene, thioalkylene, thioarylene, thiocycloalkylene, oxyalkylene, oxyarylene, oxycycloalkylene, acylalkylene, acylarylene, acylcycloalkylene units, and combinations thereof.
72. The method of claim 71, wherein the acylarylene unit is a 4-acylphenylene group having the following structure:



73. The method of claim 71, wherein the organic radical is a metal chelating agent.
74. The method according to claim 73, wherein the metal chelating agent binds at least one metal cation selected from the group consisting of cations of ^{52}Fe , ^{62}Cu , ^{64}Cu , ^{67}Cu , ^{67}Ga , ^{68}Ga , ^{86}Y , ^{89}Zr , $^{94\text{m}}\text{Tc}$, ^{94}Tc , $^{99\text{m}}\text{Tc}$, ^{111}In , $^{154-158}\text{Gd}$, and ^{175}Lu .
75. The method of claim 74, wherein the metal chelating agent is selected from the group consisting of DTPA, 1,4,7-triaza-cyclononane- $\text{N},\text{N}',\text{N}''$ -triacetic acid (NOTA), p-bromoacetamido-benyl-tetraethylaminetetraacetic acid (TETA), 1,4,7,10-tetraazacyclododecanetetraacetic acid (DOTA), EDTA, CHXa.
76. The method of claim 65, wherein n is 1, and L is selected from the group consisting of lysine-glycine analogs, lysine-glycine derivatives, lysine-glycine variants, cyclohexyl alanine, DTPA, 1,4,7-triaza-cyclononane- $\text{N},\text{N}',\text{N}''$ -triacetic acid (NOTA), p-bromoacetamido-benyl-tetraethylaminetetraacetic acid (TETA), 1,4,7,10-tetraazacyclododecanetetraacetic acid (DOTA), and mixtures thereof.
77. The method of claim 76, wherein L is KKGG.
78. The method of claim 65, wherein A is selected from the group consisting of LSXPP (X=I, R), TPP, LTPAXA (X=T, R), MTPPLT, LTRPX (X=Y, L), MTAXPI (X=P, R), MPQ, and mixtures, fragments, fusion peptides, derivatives, variants, and homologues thereof.
79. The method of claim 78, wherein A is TPP or variants thereof.

80. The method of claim 66, wherein the disease or disorder is selected from the group consisting of atherosclerosis, vulnerable plaque, coronary artery disease, renal disease, thrombosis, transient ischemia due to clotting, stroke, myocardial infarction, organ transplant, organ failure, and hypercholesterolemia.